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#### **CLAIMS**

WHAT IS CLAIMED IS:

1. A process for the preparation of a compound of Formula II:

ΙI

wherein

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-A-A- represents the group  $-CHR^4-CHR^5-$  or  $-CR^4=CR^5-$ 

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxy carbonyl, cyano, aryloxy,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, 20

hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

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R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> or R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

the process comprising:

removing an  $11\alpha$ -leaving group from a compound of Formula IV:

IV

- wherein -A-A-, R<sup>1</sup>, R<sup>3</sup>, -B-B-, R<sup>8</sup>, and R<sup>9</sup> are as defined above, and R<sup>2</sup> is a leaving group the abstraction of which is effective for generating a double bond between the 9- and 11-carbon atoms.
  - 2. A process as set forth in claim 1 wherein said compound of Formula II corresponds to Formula IIA:

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$$\begin{array}{c} & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

IIA

wherein:

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-A-A- represents the group -CH2-CH2- or -CH=CH-,

-B-B- represents the group -CH<sub>2</sub>-CH<sub>2</sub>- or an alpha- or beta- oriented group of Formula IIIA:

IIIA

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl radical,

X represents two hydrogen atoms or oxo,

 $Y^1$  and  $Y^2$  together represent the oxygen bridge - 0-, or

 $Y^1$  represents hydroxy, and

 $Y^2$  represents hydroxy, lower alkoxy or, if X represents  $H_2$ , also lower alkanoyloxy,

and salts of compounds in which X represents oxo and  $Y^2$  represents hydroxy-, the process comprising:

contacting a solution comprising a lower alkanoic acid and a salt of a lower alkanoic acid with a compound corresponding to Formula IVA:

IVA

wherein -A-A-,  $R^1$ , -B-B-, X,  $Y^1$  and  $Y^2$  are as defined in Formula IIA and  $R^2$  is lower alkylsulfonyloxy or acyloxy.

- 3. A process as set forth in claim 1 wherein said compound of Formula IV is Methyl Hydrogen  $17\alpha$ -Hydroxy- $11\alpha$ -(methylsulfonyl)oxy-3-oxopregn-4-ene- $7\alpha$ ,21-dicarboxylate,  $\gamma$ -Lactone and said compound of Formula II is Methyl Hydrogen  $17\alpha$ -Hydroxy-3-oxopregna-4,9(11)-diene- $7\alpha$ ,21-dicarboxylate,  $\gamma$ -Lactone.
- 4. A process for the preparation of a compound of Formula IV:

IV

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxy carbonyl, cyano, aryloxy,

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R1 represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group—consisting—of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy or R8 and R9 together comprise a carbocyclic or heterocyclic ring structure, or R8 or R9 together with R6 or R' comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring, and

R<sup>2</sup> is lower alkylsulfonyloxy or acyloxy or a halide.

## the process comprising:

reacting a lower alkylsulfonylating or acylating reagent or a halide generating agent such as thionyl halide, sulfuryl halide, or oxalyl halide with a compound of Formula V

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V

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined 40 above.

5. A process as set forth in claim 4 wherein said compound of Formula IV corresponds to Formula IVA:

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

IVA .

wherein:

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-A-A- represents the group -CH2-CH2- or -CH=CH-,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl radical,

. R<sup>2</sup> represents lower alkylsulfonyloxy or acyloxy,

-B-B- represents the group -CH<sub>2</sub>-CH<sub>2</sub>- or an alpha- or beta- oriented group:

X represents two hydrogen atoms or oxo,

 $Y^1$  and  $Y^2$  together represent the oxygen bridge -

0-, or

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15 Y<sup>1</sup> represents hydroxy, and

 $Y^2$  represents hydroxy, lower alkoxy or, if X represents  $H_2$ , also lower alkanoyloxy,

and salts of compounds in which X represents oxo and  $Y^2$  represents hydroxy-, the process comprising:

reacting a lower alkylsulfonyl or acyl halide in the presence of a hydrogen halide scavenger with a compound corresponding to the formula:

VA

wherein -A-A-,  $R^1$ , -B-B-, X,  $Y^1$ , and  $Y^2$  are as defined in 25 Formula IVA.

- 6. A process as set forth in claim 4 wherein said compound of Formula IV is Methyl Hydrogen  $17\alpha$ -Hydroxy- $11\alpha$ -(methylsulfonyl)oxy-3-oxopregn-4-ene- $7\alpha$ ,21-dicarboxylate,  $\gamma$ -Lactone and said compound of Formula V is Methyl Hydrogen  $11\alpha$ , $17\alpha$ -Dihydroxy-3-oxopregn-4-ene- $7\alpha$ ,21-dicarboxylate,  $\gamma$ -Lactone.
- 7. A process for the preparation of a compound of Formula V:

wherein

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-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

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 $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R1 represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

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-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

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R8 and R9 are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl,

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hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> or R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

the process comprising:

reacting a compound of Formula VI with an alkali metal alkoxide corresponding to the formula R¹ºOM wherein M is alkali metal and R¹ºO- corresponds to the alkoxy substituent of R¹, said compound of Formula VI having the structure:

VI

wherein -A-A-, R<sup>3</sup>, -B-B-, R<sup>8</sup>, and R<sup>9</sup> are as defined above.

8. A process as set forth in claim 7 wherein the compound of Formula V corresponds to the formula:

VA

wherein

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-A-A- represents the group -CH2-CH2- or -CH=CH-,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl radical,

-B-B- represents the group -CH<sub>2</sub>-CH<sub>2</sub>- or an alpha- or beta- oriented group:

\_\_сн\_\_сн\_\_сн\_

IIIA

X represents two hydrogen atoms or oxo,

 $Y^1$  and  $Y^2$  together represent the oxygen bridge - 0-, or

Y' represents hydroxy, and

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Y' represents hydroxy, lower alkoxy or, if X represents H2, also lower alkanoyloxy,

and salts of compounds in which X represents oxo and  $Y^2$  represents hydroxy-, the process comprising:

reacting a compound of Formula VIA with an alkali metal
alkoxide corresponding to the formula R¹⁰OM in the
presence of an alcohol having the formula R¹⁰OH, wherein M
is alkali metal and R¹⁰O- corresponds to the alkoxy
substituent of R¹, said compound of Formula VI having the
structure:

HO////
CH<sub>3</sub>C Y<sup>1</sup>
(CH<sub>2</sub>) 2 C = X

VIA

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wherein -A-A-, -B-B-,  $Y^1$ ,  $Y^2$  and X are as defined in Formula VA.

- 9. A process as set forth in claim 7 wherein the compound of Formula V is Methyl Hydrogen  $11\alpha,17\alpha$ -Dihydroxy-3-oxopregn-4-ene- $7\alpha,21$ -dicarboxylate,  $\gamma$ -Lactone and the compound of Formula VI is  $4'S(4'\alpha),7'\alpha$ -Hexadecahydro- $11'\alpha$ -hydroxy- $10'\beta,13'\beta$ -dimethyl-3',5,20'-trioxospiro[furan- $2(3H),17'\beta$ -[4,7]methano[17H]cyclopenta[a]phenanthrene]- $5'\beta(2'H)$ -carbonitrile.
  - 10. A process as set forth in claim 7 wherein cyanide ion is formed as a by-product of the reaction, the process further comprising removal of cyanide ion from the reaction zone during the reaction to reduce the extent of any reaction of cyanide ion with the product of Formula V.
  - 11. A process as set forth in claim 10 wherein cyanide ion is removed from the reaction by precipitation with a precipitating agent.
  - 12. A process as set forth in claim 11 wherein said reaction is carried out in a solvent medium, and said precipitating agent comprises a salt comprising a

cation which forms a cyanide compound of lower solubility in said medium than the solubility of the precipitating agent therein.

- 13. A process as set forth in claim 12 wherein said cation is selected from the group consisting of alkaline earth metal ions and transition metal ions.
- 14. A process for the preparation of a compound of Formula VI:

VI

wherein

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-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

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R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> or R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

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the process comprising:

30 hydrolyzing a compound corresponding to Formula VII:

VII

wherein -A-A-, R3, -B-B-, R8, and R9 are as defined above.

15. A process as set forth in claim 14 wherein

said compound of Formula VI corresponds to the formula:

$$\begin{array}{c} H_{3}C \\ H_{3}$$

VIA

wherein:

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-A-A- represents the group -CH2-CH2- or -CH=CH-,

-B-B- represents the group -CH<sub>2</sub>-CH<sub>2</sub>- or an alpha- or beta- oriented group:

IIIA

X represents two hydrogen atoms or oxo,

 $Y^1$  and  $Y^2$  together represent the oxygen bridge - O-, or

 $Y^1$  represents hydroxy, and

Y<sup>2</sup> represents hydroxy, lower alkoxy or, if X represents H<sub>2</sub>, also lower alkanoyloxy,

and salts of compounds in which X represents oxo and  $Y^2$  represents hydroxy-, the process comprising:

hydrolyzing a compound of Formula VIIA in the presence of an acid and an organic solvent and/or water, said compound of Formula VIIA having the structure:

VIIA

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wherein -A-A-, -B-B-,  $Y^1$ ,  $Y^2$ , and X are as defined in Formula VIA.

16. A process as set forth in claim 14 wherein said compound of Formula VI is  $4'S(4'\alpha)$ ,  $7'\alpha$ -Hexadecahydro-11' $\alpha$ -hydroxy-10' $\beta$ , 13' $\beta$ -dimethyl-3', 5, 20'-trioxospiro[furan-2(3H), 17' $\beta$ -

- 5 [4,7]methano[17H]cyclopenta[a]phenanthrene]-5'β(2'H)carbonitrile and said compound of Formula VII is
  5'R(5'α),7'β-20'-Aminohexadecahydro-11'β-hydroxy10'α,13'α-dimethyl-3',5-dioxospiro[furan-2(3H),17'α(5'H)[7,4]metheno[4H]cyclopenta[a]phenanthrene]-5'carbonitrile.
  - 17. A process for the preparation of a compound of Formula VII:

VII

wherein

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-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

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R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

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R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> or R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

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the process comprising:

reacting a compound of Formula VIII with a source of cyanide ion in the presence of an alkali metal salt, said

compound of Formula VIII having the structure:

VIII

wherein -A-A-, R3, -B-B-, R8, and R9 are as defined above.

18. A process as set forth in claim 17 wherein said compound of Formula VII corresponds to Formula VIIA:

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

VIIA

wherein:

.5

-A-A- represents the group -CH2-CH2- or -CH=CH-,

-B-B- represents the group -CH<sub>2</sub>-CH<sub>2</sub>- or an alpha- or beta- oriented group:

X represents two hydrogen atoms or oxo,

10 Y<sup>1</sup> and Y<sup>2</sup> together represent the oxygen bridge - O-, or

Y1 represents hydroxy, and

 $Y^2$  represents hydroxy, lower alkoxy or, if X represents  $H_2$ , also lower alkanoyloxy,

and salts of compounds in which X represents oxo and Y<sup>2</sup> represents hydroxy-, the process comprising:

reacting a cyanide source such as ketone cyanohydrin in the presence of LiCl in the presence of a base with an  $11\alpha$ -hydroxy compound corresponding to the formula:

$$\begin{array}{c} & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

VIIIA

wherein -A-A-, -B-B-,  $Y^1$ ,  $Y^2$ , and X are as defined in Formula VIIA.

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- 19. A process as set forth in claim 17 wherein said compound of Formula VII is  $5'R(5'\alpha)$ ,  $7'\beta-20'-$  Aminohexadecahydro-11' $\beta$ -hydroxy-10' $\alpha$ , 13' $\alpha$ -dimethyl-3', 5-dioxospiro[furan-2(3H),17' $\alpha$ (5'H)-
- 5 [7,4]metheno[4H]cyclopenta[a]phenanthrene]-5'carbonitrile and said compound of Formula VIII is
  llα,l7α-Dihydroxy-3-oxopregna-4,6-diene-21-carboxylic
  Acid, γ-Lactone.
  - 20. A process as set forth in claim 17 wherein said source of cyanide ion comprises an alkali metal cyanide, the reaction between said compound of Formula VIII and cyanide ion being carried out in the presence of an acid and water.

PATENT

## A process for the preparation of a compound of Formula VIII

VIII ·

wherein

-A-A- represents the group -CHR4-CHR5- or -5 CR4=CR5-

> R3, R4 and R5 are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl,

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hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> and R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring,

the process comprising:

oxidizing a substrate compound corresponding to Formula X by fermentation in the presence of a microorganism effective for introducing an 11-hydroxy group into said substrate in  $\alpha$ -orientation, said substrate corresponding to the formula:

XIII

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined above.

- 22. A process as set forth in claim 21 wherein said compound of Formula VIII is  $11\alpha,17\alpha$ -Dihydroxy-3-oxopregna-4,6-diene-21-carboxylic Acid,  $\gamma$ -Lactone.
- 23. A process for the preparation of a mexrenone derivative corresponding to the formula:

XXXI

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R' represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising:

reacting a compound of Formula XIV with an alkali metal alkoxide corresponding to the formula  $R^{10}OM$  wherein M is alkali metal and  $R^{10}O$ - corresponds to the alkoxy substituent of  $R^{1}$ , said compound of Formula XIV having the structure:

XIV

wherein -A-A-, R<sup>3</sup>, and -B-B-, are as defined above.

24. A process as set forth in claim 23 wherein said compound of Formula XIV is  $4'S(4'\alpha)$ ,  $7'\alpha$ -1', 2', 3', 4, 4', 5, 5', 6', 7', 8', 10', 12', 13', 14', 15', 16'hexadecahydro- $10\beta$ -,  $13'\beta$ -dimethyl-3', 5, 20'trioxospiro[furan-2(3H),  $17'\beta$ [4,7]methano[17H]cyclopenta[a]phenanthrene]5'carbonitrile.

25. A process for the preparation of a compound of Formula XIV:

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XIV

wherein

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5 -A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

20 the process comprising:

hydrolyzing a compound corresponding to Formula XV:

χV

wherein -A-A-, R<sup>3</sup>, and -B-B- are as defined above.

26. A process as set forth in claim 25 wherein said compound of Formula XIV is  $4'S(4'\alpha)$ ,  $7'\alpha$ -1', 2', 3', 4, 4', 5, 5', 6', 7', 8', 10', 12', 13', 14', 15', 16'-

1,2,3,4,4,5,5,6,7,6,10,12,13,14,15,16

hexadecahydro- $10\beta$ -, $13'\beta$ -dimethyl-3',5,20'-

- 5 trioxospiro[furan-2(3H),17' $\beta$ -
  - [4,7]methano[17H]cyclopenta[a]phenanthrene]5'-carbonitrile and said compound of Formula XV is  $5'R(5'\alpha)$ ,7' $\beta$ -20'-amino-

1',2',3',4,5,6',7',8',10',12',13',14',15',16'-

tetradecahydro-10' $\alpha$ ,13' $\alpha$ -dimethyl-3',5-dioxospiro[furan-2(3H),17' $\alpha$ (5'H)-

[7,4] metheno [4H] cyclopenta [a] phenanthrene] -5'-carbonitrile.

27. A process for the preparation of a compound corresponding to Formula XV:

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ΧV

wherein

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-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

20 the process comprising:

reacting a compound of Formula XVI with a source of cyanide ion in the presence of an alkali metal salt, said compound of Formula XVI having the structure:

XVI

25 wherein -A-A-, R<sup>3</sup>, and -B-B- are as defined above.

- 28. A process as set forth in claim 27 wherein said compound of Formula XV is Methyl Hydrogen  $9\alpha$ ,  $17\alpha$ -dihydroxy-3-oxopregn-4-ene- $7\alpha$ , 21-dicarboxylate,  $\gamma$ -lactone.
- 29. A process for the preparation of a compound corresponding to the formula:

XXXII

wherein

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-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R3, R4 and R5 are independently selected from

the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, \_acyloxyalkyl, cyano, aryloxy,

the process comprising:

reacting a compound of Formula XXI with an alkali metal alkoxide corresponding to the formula R¹⁰OM wherein M is alkali metal and R¹⁰O- corresponds to the alkoxy substituent of R¹, said compound of Formula XXI having the structure:

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IXX

wherein -A-A- R<sup>1</sup>, R<sup>3</sup>, and -B-B- are as defined above.

30. A process as set forth in claim 29 wherein said compound of Formula XXI is  $4'S(4'\alpha)$ ,  $7'\alpha-9'$ ,  $11\alpha-$  epoxyhexadecahydro- $10\beta$ -,  $13'\beta$ -dimethyl-3'5, 20'-trioxospiro[furan-2(3H),  $17'\beta$ -

- 5 [4,7] methano [17H] cyclopenta [a] phenanthrene-5'-carbonitrile.
  - 31. A process for the preparation of a compound corresponding to Formula XXI:

IXX

wherein

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-A-A- represents the group -CHR+-CHR5- or -

CR4=CR5-

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R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

## 20 the process comprising:

hydrolyzing a compound corresponding to Formula XXII:

XXII

wherein -A-A-, R3, and -B-B- are as defined above.

- 32. A process as set forth in claim 31 wherein said compound of Formula XXI is  $4'S(4'\alpha),7'\alpha-9',11\alpha$ -epoxyhexadecahydro- $10\beta$ -, $13'\beta$ -dimethyl-3'5,20'-trioxospiro[furan-2(3H), $17'\beta$ -
- [4,7] methano [17H] cyclopenta [a] phenanthrene-5'-carbonitrile and said compound of Formula XXII is 5'R(5'α),7'β-20'-amino-9,11β-epoxyhexadecahydro-10',13'-dimethyl-3',5-dioxospiro [furan-2(3H),17'a(5'H)-[7,4] methene [4H] cyclopenta [a] phenanthrene-5'-carbonitrile.
  - 33. A process for the preparation of a compound corresponding to Formula XXII:

XXII

wherein

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-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR6-CHR7- or an

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alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

20 the process comprising:

reacting a compound of Formula XXIII with a source of cyanide ion in the presence of a an alkali metal salt, said compound of Formula VIII having the structure:

XXIII

25 wherein -A-A-,  $R^3$ , and -B-B- are as defined above.

34. A process as set forth in claim 33 wherein said compound of Formula XXII is  $5'R(5'\alpha)$ ,  $7'\beta-20'-$ amino9,  $11\beta$ -epoxyhexadecahydro-10', 13'-dimethyl-3', 5-dioxospiro[furan-2(3H), 17'a(5'H)-

[7,4]methene[4H]cyclopenta[a]phenanthrene-5'-carbonitrile and said compound of Formula XXII is 9,11 $\alpha$ -epoxy-17 $\alpha$ -hydroxy-3-oxopregna-4,6-diene-21-carboxylic acid,  $\gamma$ -lactone.

35. A process for the preparation of a

compound corresponding to Formula XIII:

XXIII

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

 $R^1$  represents an alpha-oriented lower alkoxycarbonyl radical,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising:

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abstracting hydrogen from the 6 and 7 positions of a compound corresponding to the formula:

VIXX

wherein -A-A-, R3, and -B-B- are as defined above.

36. A process for the preparation of a compound of Formula XIV:

XIV

wherein

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-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy, -B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III.

15

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising: 20

hydrolyzing a compound corresponding to Formula XXV:

wherein R\* is a hydroxyl protecting group and wherein -A-A-, R3, -B-B-, R8, and R9 are as defined above.

A process as set forth in claim 36 wherein said compound of Formula XIV is  $4'S(4'\alpha),7'\alpha$ -1',2',3',4,4',5,5',6',7',8',10',12',13',14',15',16'hexadecahydro-10 $\beta$ -,13' $\beta$ -dimethyl-3',5,20'-



5 trioxospiro[furan-2(3H),17'β[4,7]methano[17H]cyclopenta[a]phenanthrene]5'carbonitrile and said compound of Formula XXV is
5'R(5'α),7'β-20'-aminohexadecahydro-9'β-hydroxy10'a,13'α-dimethyl-3',5-dioxospiro[furan-2(3H),17'α(5'H)[7,4]metheno[4H]cyclopenta[a]phenanthrene]-5'carbonitrile.

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38. A process for the preparation of a compound corresponding to Formula XXV:

VXX

wherein

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-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

20

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure,

25

30

where  $R^{x}$  is a hydroxy protecting group,

the process comprising:

reacting a compound of Formula XXVI with a source of cyanide ion in the presence of a an alkali metal salt, said compound of Formula XXVI having the structure:

XXVI

wherein -A-A-, R3, and -B-B- are as defined above.

39. A process as set forth in claim 38 wherein

said compound of Formula XXV is  $5'R(5'\alpha)$ ,  $7'\beta-20'$ -aminohexadecahydro- $9'\beta$ -hydroxy-10'a,  $13'\alpha$ -dimethyl-3', 5-dioxospiro[furan-2(3H),  $17'\alpha(5'H)$ -

[7,4]metheno[4H]cyclopenta[a]phenanthrene]-5'-carbonitrile and said compound of Formula XXVI is  $9\alpha$ ,17 $\alpha$ -dihydroxy-3-oxopregna-4,6-diene-21-carboxylic acid,  $\gamma$ -lactone.

40. A process for the preparation of a compound corresponding to Formula XXVI:

IVXX

wherein

5

5

-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

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-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

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where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

20 where R\* is a hydroxy protecting group,

the process comprising:

abstracting hydrogens from the 6 and 7 positions (dehydrogenation) of a compound corresponding to the formula:

IIVXX

wherein -A-A-, R<sup>3</sup>, and -B-B- are as defined above.

- 41: A process as set forth in claim 40 wherein said compound of Formula XXVI is  $9\alpha,17\alpha$ -dihydroxy-3-oxopregna-4,6-diene-21-carboxylic acid,  $\gamma$ -lactone and said compound of Formula XXVII is  $9\alpha,17\alpha$ -dihydroxy-3-oxopregn-4-ene-21-carboxylic acid,  $\gamma$ -lactone.
- 42. A process for the preparation of a compound corresponding to Formula VIII:

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VIII

wherein

-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> and R<sup>9</sup> together with R<sup>6</sup> or

R' comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring,

the process comprising: oxidizing a compound of Formula corresponding to Formula 104

[104]

wherein -A-A-,  $R^3$ , and -B-B- are as defined above and  $R^{11}$  is a  $C_1$  to  $C_4$  alkyl.

- 43. A process as set forth in claim 42 wherein the compound of Formula VIII is contacted with an oxidizing agent.
- 44. A process as set forth in claim 43 wherein said oxidizing agent is a benzoquinone derivative.
- 45. A process as set forth in claim 44 wherein said oxidizing agent is selected from the group consisting of 2,3,-dichloro-5,6-dicyano-1,4-benzoquinone and tetrachlorobenzoquinone.
- 46. A process as set forth in claim 42 wherein said compound of Formula 104 is contacted with a halogenating agent to produce a halogenated intermediate; and contacting said halogenated intermediate with a dehydrohalogenating agent to dehydrohalogenate said halogenated intermediate and form said compound of

Formula 104.

47. A process for the preparation of a compound corresponding to Formula 104:

104

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R<sup>11</sup> is C<sub>1</sub> to C<sub>4</sub> lower alkyl;
-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

TTT

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

103



the process comprising:

thermally decomposing a compound corresponding to Formula 103 in the presence of an alkali metal halide, said compound of Formula 103 having the structure:

wherein -A-A-,  $R^3$ ,  $R^{11}$ , and -B-B- are as defined above and  $R^{12}$  is  $C_1$ - $C_4$  alkyl.

48. A process for the preparation of a compound corresponding to Formula 103:

wherein

-A-A- represents the group -CHR<sup>4</sup>-CHR<sup>5</sup>- or -CR<sup>4</sup>=CR<sup>5</sup>-

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

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R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> lower alkyl; -B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising: condensing a compound of Formula 102 with a dialkyl malonate in the presence of a base, said compound of Formula 102 having the structure:

wherein -A-A-, R3, R11, and -B-B- are as defined above.

49. A process for the preparation of a compound corresponding to Formula 102:

102

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R<sup>11</sup> is C<sub>1</sub> to C<sub>4</sub> alkyl;

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising:

reacting a compound of Formula 101 with a sulfonium ylide in the presence of a base, said compound of Formula 101 having the structure:

wherein -A-A-, R3, and -B-B- are as defined above.

50. A process for the preparation of a compound corresponding to Formula 101:

101

wherein.

-A-A- represents the group -CHR $^4$ -CHR $^5$ - or -CR $^4$ =CR $^5$ -

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl;

-B-B- represents the group -CHR6-CHR7- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising: reacting a compound of Formula XXXVI with an etherifying

reagent in the presence of an acid catalyst, said compound of Formula XXXVI having the structure:

XXXVI

wherein -A-A-, R3, and -B-B- are as defined above.

51. A process as set forth in claim 50 wherein said compound of Formula 101 prepared by reacting a compound of Formula XXXVI with a trialkyl orthoformate in an acidified alkanol solvent.

52. A process for the preparation of a compound of Formula XXXVI

IVXXX

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup> is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

XXXVII

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy,

the process comprising:

oxidizing a substrate compound of Formula XXXVII by fermentation in the presence of a microorganism effective for conversion of said substrate compound to a compound of Formula XXXVI

where -A-A-, -B-B- and R<sup>3</sup> are as defined above, said substrate compound of Formula XXXVII corresponding to the Formula:

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-, and are as defined above and D-D is  $-CH_2-CH_2-$  or -CH=CH- and  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , and  $R^{16}$  are independently selected from the group consisting of  $C_1-C_4$  alkyl; and thereafter introducing an 11-hydroxy group into said  $\alpha$ -orientation in said compound of Formula XXXVI by fermentation in the presence of a microorganism effective for the  $11\alpha$ -hydroxylation.

53. A process for the preparation of a compound corresponding to Formula II:

ΙI

wherein:

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

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III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure,

the process comprising:

preparing a compound of Formula V

V

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined above by reacting a compound of Formula VI with an alkali metal alkoxide corresponding to the formula  $R^{10}OM$  wherein M is alkali metal and  $R^{10}O-$  corresponds to the alkoxy substituent of  $R^1$ , said compound of Formula VI having the structure:

VI

wherein -A-A-,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined above;

without isolating said compound of Formula V in purified form, reacting said compound of Formula V with a lower alkylsulfonylating or acylating reagent to produce a compound of Formula IV

IV

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined above, and  $R^2$  is alkylsulfonyloxy, acyloxy leaving group or halide;

without isolating said compound of Formula IV in purified form, removing the  $11\alpha$ -leaving group therefrom by reaction with a reagent for abstraction thereof to produce said compound of Formula II.

54. A process as set forth in claim 53 wherein, without isolating said compound of Formula II in purified form, said compound of Formula II is reacted with an epoxidizing reagent to form a product of



I

wherein -A-A-,  $R^1$ ,  $R^3$ , -B-B-,  $R^8$ , and  $R^9$  are as defined above.

55. A process as set forth in claim 54 wherein:

said compound of Formula II is formed by reaction of said compound of Formula IV with a leaving group removing reagent comprising an alkanoic acid in the presence of an alkali metal alkoxide;

volatile components are stripped from the reaction solution;

water-soluble components of the reaction solution are removed by washing with an aqueous washing solution, thereby producing residual Formula II solution suitable for conversion of the compound of Formula II to a compound of Formula I; and

a peroxide oxidizing agent is combined with the residual Formula II solution to effect the conversion of the compound of Formula II to the compound of Formula I.

56. A process as set forth in claim 54 wherein:

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said compound of Formula V is formed by reaction of said compound of Formula VI with an alkali metal alkoxide in an organic solvent;

the compound of Formula V is extracted from a solution comprising the Formula V reaction solution using an organic solvent, thereby producing a Formula V extract solution; and

a lower alkylsulfonyl halide or acyl halide is introduced into a solution comprising said Formula V extract solution for preparation of the compound of Formula VI.

57. A process as set forth in claim 54 wherein:

said compound of Formula IV is formed by reaction of said compound of Formula V with a leaving group abstraction reagent in an organic solvent;

a solution comprising the Formula IV reaction solution is passed over an acidic and then a basic exchange resin column for the removal of basic and acidic impurities therefrom, thereby producing Formula IV eluate solution; and

a reagent for abstraction of an alkylsulfonyloxy or acyloxy leaving group is combined with a solution comprising said Formula IV eluate solution for preparation of said compound of Formula II.

58. A process for the formation of an epoxy compound comprising contacting a substrate compound having an olefinic double bond with a peroxide compound in the presence of a peroxide activator, said peroxide

activator corresponding to the formula:

where R is a substituent having an electron withdrawing strength not less than that monochloromethyl.

59. A process as set forth in claim 58 wherein said peroxide activator corresponds to the formula

where  $X^1$ ,  $X^2$ , and  $X^3$  are selected from the group consisting of halo, hydrogen, alkyl, haloalkyl, cyano and cyanoalkyl,  $R^p$  is selected from the group consisting of arylene and  $-(CX^4X^5)_n$ -, and n is 0, or 1, at least one of  $X^1$ ,  $X^2$ ,  $X^3$ ,  $X^4$  and  $X^5$  being halo or perhaloalkyl.

- 60. A process as set forth in claim 58 wherein n is 0 and at least two of  $X^1$ ,  $X^2$  and  $X^3$  are halo or perhaloalkyl.
- 61. A process as set forth in claim 58 wherein all of  $X^1$ ,  $X^2$ ,  $X^3$ ,  $X^4$  and  $X^5$  are halo or perhaloalkyl.
- 62. A process as set forth in claim 58 wherein said peroxide activator is a trihaloacetamide.
- 63. A process as set forth in claim 62 wherein said peroxide activator is trichloroacetamide.
- 64. A process as set forth in claim 58 wherein said substrate compound corresponds to the Formula:

wherein

-A-A- represents the group -CHR4-CHR5- or -CR4=CR5-

R<sup>3</sup>, is selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxy carbonyl, cyano, aryloxy,

R<sup>1</sup> represents an alpha-oriented lower alkoxycarbonyl or hydroxycarbonyl radical,

-B-B- represents the group -CHR<sup>6</sup>-CHR<sup>7</sup>- or an alpha- or beta- oriented group:

III

where R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl, acyloxyalkyl, cyano, aryloxy, and

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, halo, lower alkoxy, acyl, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxycarbonyl,

acyloxyalkyl, cyano, aryloxy, or R<sup>8</sup> and R<sup>9</sup> together comprise a carbocyclic or heterocyclic ring structure, or R<sup>8</sup> or R<sup>9</sup> together with R<sup>6</sup> or R<sup>7</sup> comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

65. A process as set forth in claim 58 wherein said substrate compound is selected from the group consisting of:



and the product of the epoxidation reaction is selected from the group consisting of: